

PATENT SPECIFICATION

DRAWINGS ATTACHED

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L017.032

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Date of filing Complete Specification Dec. 8, 1964.

Application Date Dec. 12, 1963.

No. 49162/63.

Complete Specification Published Jan. 12, 1966.

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Index at acceptance: —C4 X11; A5 B(1R1, 7); F1 R3A3D

Int. Cl.: —A 61m 11/00//A 61 k, F 05 d

COMPLETE SPECIFICATION

Aerosol Compositions

We, AEROSMOKE LIMITED, a British Company, of Cheriton, Pyle Hill, Newbury, Berkshire, (formerly of Kings Road Trading Estate, Newbury, Berkshire), do hereby declare the invention for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

10 The present invention relates to appliances for reducing the tendency to smoke tobacco.

15 In accordance with the present invention there is provided an appliance for reducing the tendency to smoke tobacco which comprises an aerosol dispenser of the type which delivers a fixed amount of aerosol composition at each operation and which contains, as an anti-smoking composition, an alkaloid of the kind which acts as a substitute for nicotine by at least partly satisfying the craving therefor uniformly dispersed in an aerosol propellant vehicle, the said fixed amount and the concentration of the alkaloid in the composition being such that from 0.05 to 0.3 mg. of the alkaloid, reckoned as lobeline hydrochloride on an efficacy basis, is delivered at each operation of the dispenser. The preferred alkaloid is lobeline itself. It is advantageously present in the form of the hydrochloride which has better solubility properties than other simple salts, notably lobeline sulphate.

20 It is well known to provide anti-smoking tablets containing lobeline, to act as a substitute for nicotine as aforesaid, in the form of lobeline sulphate. They commonly contain about 2 mg. of lobeline sulphate and are taken one three times per day to supply the user with about 6 mg. of lobeline sulphate daily. With the present appliance the user inhales the alkaloid-containing fine droplets produced on operating the dispenser and it is found that the alkaloid is very much more

effective, weight for weight, in this form than in the form of tablets. For example, with an appliance arranged to deliver about 0.1 mg. of lobeline hydrochloride per operation which is the preferred delivery, the inhalation of the droplets from ten operations per day, containing in all about 1 mg. of lobeline hydrochloride, has substantially the same effect as the three tablets containing a total of 6 mg. of lobeline sulphate, that is to say it will satisfy the craving for nicotine of most moderate smokers. Because of the very high cost of lobeline the saving in cost is considerable.

The appliance may be provided to give amounts other than 0.1 mg. in the range from 0.05 to 0.3 mg. at each operation if desired. Larger amounts in the range are useful in cases where 0.1 mg. proves to be insufficient for the user and smaller amounts are useful for users who prefer a shorter interval than one hour between inhalations. Especially in the latter connection, it is to be noted that use of the appliance, like taking and lighting a cigarette requires manipulation and in very many cases the provision of something to manipulate is advantageous in itself. Indeed the present invention makes it practicable for a smoker to employ a lobeline composition regularly at little cost, e.g. as frequently as he would otherwise have recourse to a cigarette. He may choose between using the composition to reduce the number of cigarettes consumed and using it in conjunction with an endeavour to dispense with cigarettes entirely.

When lobeline is provided in the form of tablets, it is necessary to incorporate antacids in order to prevent nausea. No such additive is required with the present inhalant composition.

It is preferred that lobeline, when employed, should be present in the composition in a concentration, reckoned as the hydrochloride,

[Price 4s. 6d.]

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of from 0.2 to 0.3% by weight. Similarly, alkaloids other than lobeline are preferably present in an equivalent concentration reckoned on an efficacy basis; thus an alkaloid which is twice as effective, weight for weight, as lobeline is preferably present in a concentration of from 0.1 to 0.15% by weight. At such a concentration, the user obtains the lobeline or other alkaloid in an effective amount by dispensing and inhaling a convenient amount of the composition; moreover, aerosol dispensers of the fixed dosage type which deliver the appropriate amount of the composition are readily obtainable in the trade.

The following typical examples are given in order to illustrate the invention.

EXAMPLE I

A composition was prepared from
 0.25% by weight of lobeline hydrochloride.
 25.0% by weight of ethanol, and
 74.75% by weight of symmetrical dichlorotetrafluoro-ethane, as aerosol propellant vehicle.

100%

The amount of the composition providing 0.1 mg. of lobeline hydrochloride was 0.040 gram. Accordingly, an aerosol dispenser small enough to be carried conveniently in the pocket contained sufficient lobeline hydrochloride for 200 inhalations.

Lobeline hydrochloride was employed rather than the sulphate because of its greater solubility. Especially if an amount of the hydrochloride greater than 0.25% by weight is to be provided, part of the alcohol is advantageously replaced by chloroform, propylene glycol or other co-solvent in order to minimise the danger of partial precipitation of the hydrochloride on storage.

EXAMPLE 2

A composition was prepared from
 0.25% by weight of lobeline hydrochloride
 0.25% by weight of ascorbic acid
 5.00% by weight of propylene glycol
 19.50% by weight of ethanol
 75.00% by weight of symmetrical dichlorotetrafluoro-ethane as aerosol propellant vehicle.

The ascorbic acid was provided as an antioxidant. In the absence thereof the composition becomes discoloured on standing for prolonged periods, the tendency being substantially less however when chloroform is the co-solvent.

Best results are obtained with the foregoing compositions using a fixed dosage aerosol dispenser provided with a tube along which spray from the spray nozzle of the dispenser may be directed towards the mouth of the user, a wide telescopic tube being preferred. From the tube the dispensed composition emerges with the appearance of a puff of smoke. The

particle size of the composition appears to depend upon the extension of the tube. The mechanics of the tube are not fully understood, but it appears that the stationary mass of air present in the tube reacts mechanically upon the jet of droplets produced by the nozzle, not only to slow them, but also to break them up to form a smoke-like cloud of very fine particles, the vast majority of which are about 5 microns in diameter for a full extension of the tube. Such a cloud, is quite different in its appearance from the original jet of droplets which typically has a particle size of from 25 to 30 microns. On inhalation the particles are, because of their very fine size, able to pass to the alveoli in somewhat the same manner as tobacco smoke and from there, have their active component absorbed into the blood stream. They are not however so small that they fail to deposit and become ejected at the next breath.

A suitable dispensing apparatus having a telescopic tube is shown by way of example in the accompanying drawing, in which:

Figure 1 is a part sectional side elevation of the apparatus, and

Figure 2 shows a part of the apparatus in perspective.

In the said dispensing apparatus a conventional glass aerosol bottle 1 has a projecting tube 2 over which is fitted a conventional button 3 incorporating a spray nozzle having an outlet at 4. Pressing the tube 2 downwardly by the button 3 causes a metered quantity, e.g. 0.040 gram. of aerosol composition 5, containing 0.1 mg. of lobeline hydrochloride to be delivered as a jet of droplets from outlet 4.

Force fitted over the button 3 is a telescopic tube 6 having an outlet diameter at end 7 of 2.2 cm. The length of the tube from end 7 to the nozzle is variable from 7.1 cm. to 12.5 cm. The end of the telescopic tube behind the nozzle has an integral end closure 8 covered by a cap 9 rotatably secured thereto by a necked protuberance 10 sprung through an aperture in said end closure. Cap 9 is formed with air openings 11 which register with corresponding openings in the end closure 8 when openings in the telescopic tube 6 and cap 9 are registered together to admit the tube 2.

To use the dispenser, the user places the end 7 between his lips and inhales whilst pressing upon a ribbed flat surface 12 provided on the cap. The pressure causes the tube 6, the button 3 and the tube 2 to move downwardly together. In the result the jet of droplets from outlet 4 reacts mechanically with the air in the tube 6 to provide a smoke-like cloud for inhalation by the user.

The telescopic tube 6 and the button 3 together form an assembly which can be lifted off the tube 2. The size of the bottle 1 is such that it may be inserted into the tube

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through end 7 to provide a compact package, suitable for carriage in the user's pocket, in which package the tube 2 is protected. This size of bottle contains sufficient composition for about 200 inhalations, i.e. for nearly three weeks at ten inhalations per day.

Besides providing the user with an inhalation of an alkaloid as would smoking tobacco, the dispenser also provides him with something to manipulate. In view of the manipulations involved in smoking a cigarette, this factor is probably important psychologically.

As will be appreciated by those skilled in the art, various departures may be made from the compositions shown in the foregoing typical examples without departing from the ambit of the invention. For example aerosol propellants other than symmetrical dichlorotetrafluoro-ethane may be employed especially other chlorinated or chlorofluorinated methanes and ethanes having suitable boiling points, well known examples thereof being dichlorodifluoromethane, dichloromonofluoro-methane, monochlorotrifluoromethane as well as mixtures of these propellants with one another and/or with dichlorotetrafluoromethane. Similarly solvents other than ethanol and co-solvents other than chloroform or propylene glycol may also be employed to ensure that the solubility of the alkaloid in the mixture is sufficient to maintain stability on storage. Anti-oxidants of the kind used in food preparations, other than ascorbic acid, may be employed where desired, although ascorbic acid is preferred, being a substance which can be expected to yield no unwanted effects when inhaled. Typical of other anti-oxidants are sodium bisulphite, the tocopherols, *d*-gluco-ascorbic acid, *d*-isoascorbic acid, sodium formaldehyde sulphonylate and sodium thioglycolate.

If desired, part of the lobeline or other alkaloid may be replaced by an equivalent amount of nicotine and/or olfactory material; for example socratine which is responsible, at least in part, for the odour of tobacco, may be incorporated in the composition.

WHAT WE CLAIM IS:—

1. An appliance for reducing the tendency to smoke tobacco which comprises an aerosol dispenser of the type which delivers a fixed amount of aerosol composition at each operation and which contains, as an anti-smoking composition, an alkaloid of the kind which acts as a substitute for nicotine by, at least partly, satisfying the craving therefor uniformly

dispersed in an aerosol propellant vehicle, the said fixed amount and the concentration of the alkaloid in the composition being such that from 0.05 to 0.3 mg. of the alkaloid, reckoned as lobeline hydrochloride on an efficacy basis, is delivered at each operation of the dispenser.

2. An appliance according to Claim 1 in which the alkaloid is present in a concentration of from 0.2 to 0.3% by weight reckoned as lobeline hydrochloride on an efficacy basis.

3. An appliance according to either of Claims 1 or 2 in which the alkaloid is lobeline.

4. An appliance according to either of Claims 1 or 2 in which the alkaloid is lobeline present as lobeline hydrochloride.

5. An appliance according to Claim 4 in which the said fixed amount and the concentration are such that about 0.1 mg. of lobeline hydrochloride is delivered at each operation of the dispenser.

6. An appliance according to either of Claims 4 or 5 in which the aerosol propellant vehicle contains ethanol and chloroform as co-solvents for the lobeline hydrochloride.

7. An appliance according to either of Claims 4 or 5 in which the aerosol propellant vehicle contains ethanol and propylene glycol as co-solvents for the lobeline hydrochloride.

8. An appliance according to any one of Claims 1 to 7 in which the composition contains an anti-oxidant.

9. An appliance according to Claim 8 in which the anti-oxidant is ascorbic acid.

10. An appliance according to any one of Claims 1 to 9 provided with a tube along which spray from the spray nozzle of the dispenser may be directed towards the mouth of the user.

11. An appliance according to Claim 10 in which the tube is removable from the dispenser and is dimensioned to house the dispenser after removal therefrom.

12. An appliance according to either of Claims 10 or 11 in which the tube is a telescopic tube.

13. An appliance for reducing the tendency to smoke tobacco substantially as hereinbefore described and illustrated by the foregoing Examples and accompanying drawing.

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1 SHEET This drawing is a reproduction of
the Original on a reduced scale

Fig. 1.

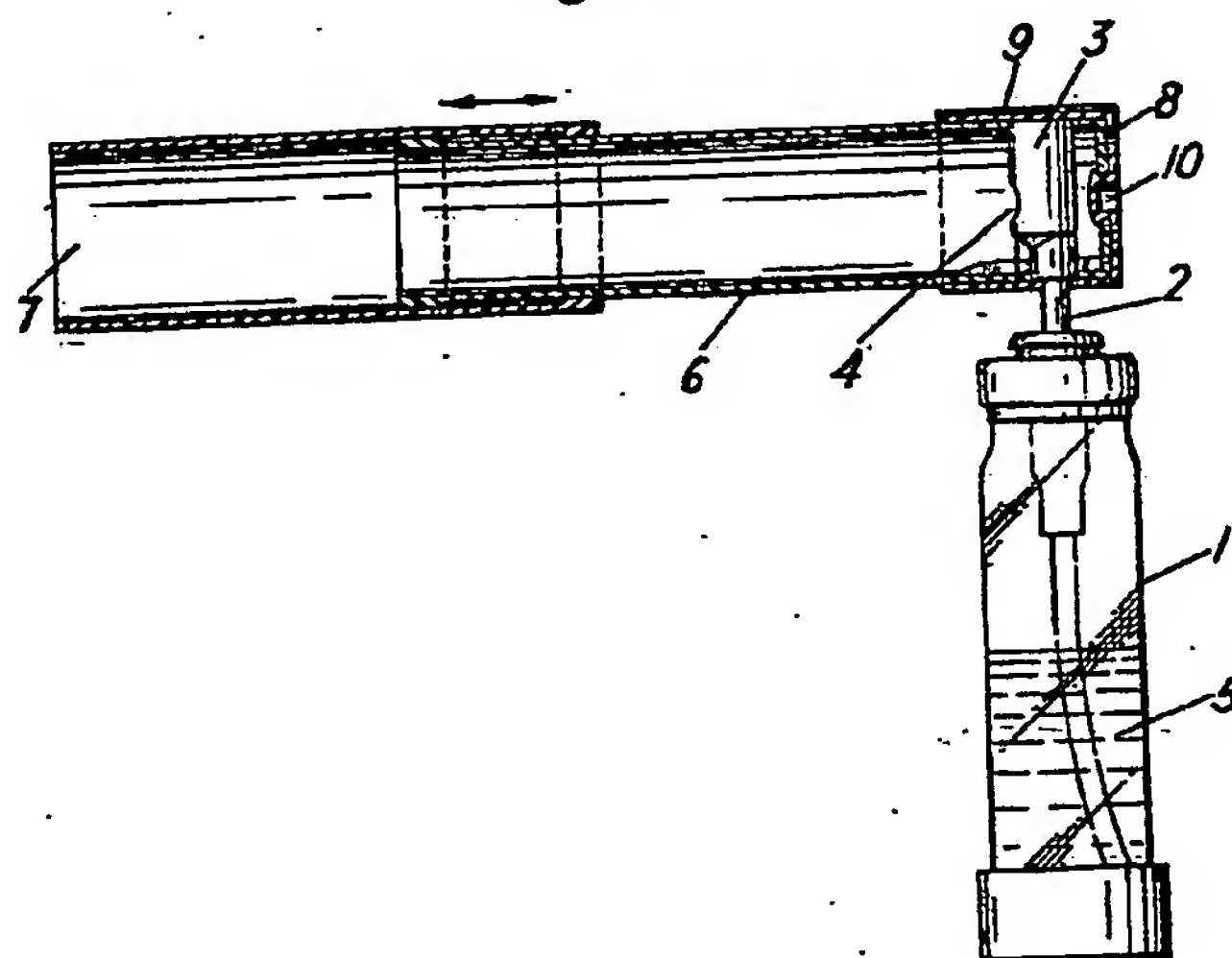
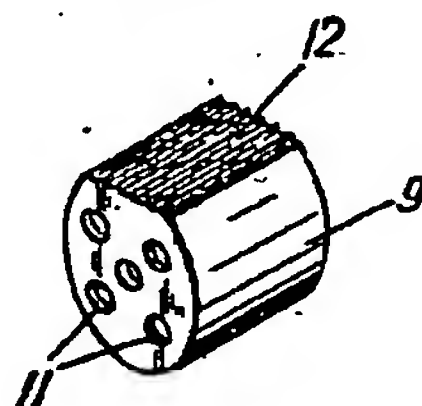


Fig. 2



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